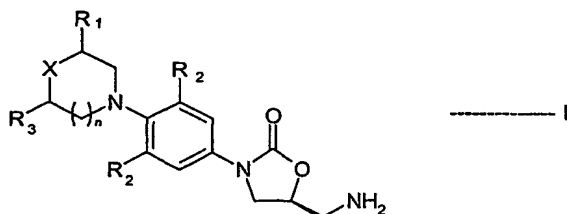


We claim:

1. A process for the preparation of 5-aminomethyl substituted oxazolidinones of formula I:



5 wherein

X is O, S, SO or SO₂;

R₁ is H, CH₃ or CN;

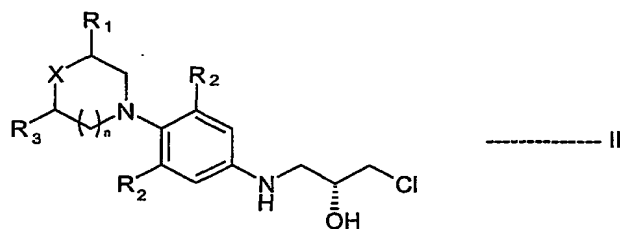
R₂ is independently H, F or Cl;

R₃ is H or CH₃;

10 n is 0, 1 or 2;

which comprises;

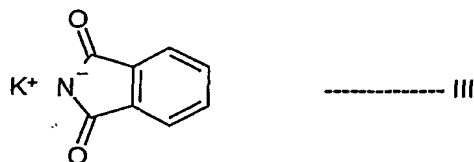
a) (i) reacting the compound of formula II:



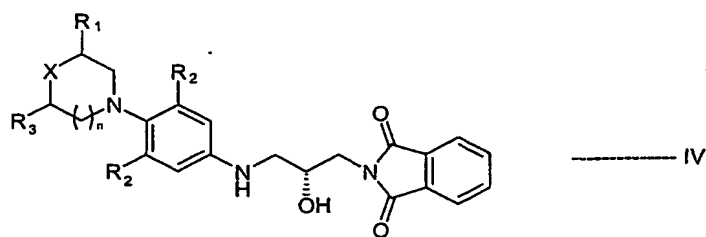
wherein R₁, R₃, X, R₂ and n are as defined in formula I;

15

with potassium phthalimide of formula III:



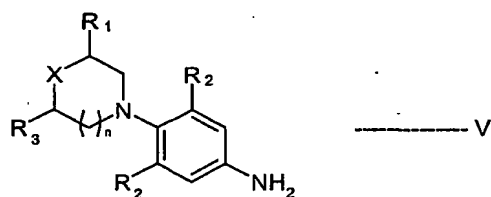
to produce compounds of formula IV:



wherein R_1 , R_3 , X , R_2 and n are as defined in formula I;

(or)

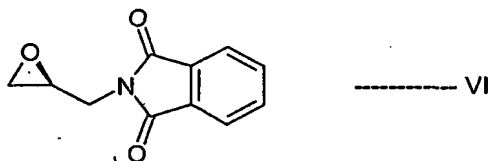
ii) reacting the compound of formula V:



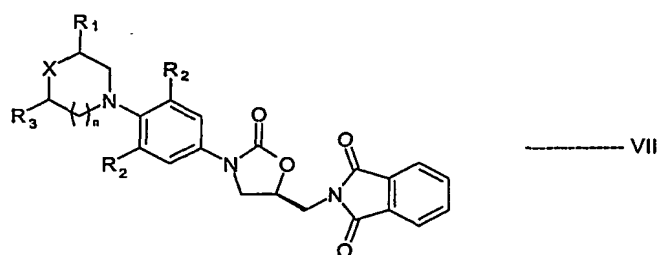
5

wherein R_1 , R_3 , X , R_2 and n are as defined in formula I;

with phthalimido oxiranyl compound of formula VI:



10 b) converting the product of step (a) to produce a compound of formula VII:



and

- c) converting the product of step (b) to aminomethyl oxazolidinone of formula I.
2. The process according to claim 1, wherein the aminomethyl oxazolidinone formed is the compound of formula I, wherein $R_1=R_3$ is H; R_2 is independently H and F; X is O or S; and n is 1.
- 5 3. The process according to claim 2, wherein the aminomethyl oxazolidinone is the compound of formula I, wherein X is O.
4. The process according to claim 2, wherein the aminomethyl oxazolidinone is the compound of formula I, wherein one R_2 is H and the other R_2 is F; X is O.
- 10 5. The process according to claim 1, wherein the reaction in step (a)(i) is carried out by contacting the chlorohydrin compounds of formula II with potassium phthalimide in a solvent or mixture of solvents.
6. The process according to claim 5, wherein the solvent is dimethyl formamide or acetonitrile.
- 15 7. The process according to claim 5, wherein the reaction is carried out between about 10°C and the boiling temperature of the solvent used.
8. The process according to claim 7, wherein the reaction is carried out between about 40°C and the boiling temperature of the solvent used.
9. The process according to claim 8, wherein the reaction is carried out at boiling temperature of the solvent used.
- 20 10. The process according to claim 1, wherein the quantity of phthalimido oxiranyl compound in step (a)(ii) is at least one molar equivalent per equivalent of phenyl amine of formula V.
11. The process according to claim 1, wherein the reaction between the compounds of formula V and formula VI in step (a)(ii) is carried out in a solvent.
- 25 12. The process according to claim 11, wherein the solvent is neutral towards the reactants.
13. The process according to claim 12, wherein the solvent is selected from cyclic ethers, amides, acetonitrile and alcohols; and a mixture thereof.
- 30 14. The process according to claim 13, wherein the solvent is selected from tetrahydrofuran, N, N-dimethylformamide, N, N-dimethylacetamide, acetonitrile, methanol, ethanol, t-amyl alcohol, t-butyl alcohol and isopropyl alcohol.

15. The process according to claim 14, wherein the solvent is selected from methanol, isopropyl alcohol and N, N-dimethylformamide.
16. The process according to claim 15, wherein the solvent is methanol.
17. The process according to claim 15, wherein the solvent is isopropyl alcohol.
- 5 18. The process according to claim 15, wherein the solvent is N,N-dimethylformamide.
19. The process according to claim 1, wherein the reaction in step (a)(ii) is performed at or below boiling temperature of the solvent used.
20. The process according to claim 19, wherein the reaction is performed
10 between about 10°C and boiling temperature of the solvent used.
21. The process according to claim 20, reaction is performed at the boiling temperature of the solvent used.
22. A process according to claim 1, wherein the phthalimido compound of formula IV is subjected in the step (b) to carbonylation using carbonylating
15 reagent to provide phthalimido oxazolidinone compound of formula VII.
23. The process according to claim 22, wherein the carbonylating reagent is selected from carbonyldiimidazole, phosgene, alkyl chloroformates, aryl chloroformates, aralkyl chloroformates diethyl carbonate and triphosgene.
24. The process according to claim 23, wherein the alkyl chloroformate is methyl
20 chloroformate, aryl chloroformate is phenyl chloroformate and aralkyl chloroformate is benzyl chloroformate.
25. The process according to claim 23, wherein the carbonylating reagent is carbonyldiimidazole or triphosgene or diethyl carbonate.
26. The process according to claim 25, wherein the carbonylating reagent is
25 carbonyldiimidazole.
27. The process according to claim 25, wherein the carbonylating reagent is diethyl carbonate.
28. The process according to claim 25, wherein the carbonylating reagent is triphosgene.
- 30 29. The process according to claim 22, wherein the carbonylation reaction is performed in the presence of an aprotic solvent or a mixture thereof.
30. The process according to claim 29, wherein the aprotic solvent is selected from methylenedichloride, ethylenedichloride and chloroform.

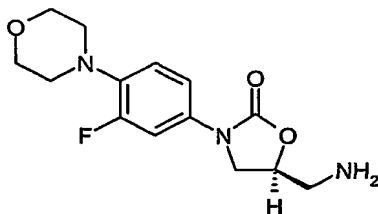
31. The process according to claim 30, wherein the aprotic solvent is methylenedichloride.

32. The process according to claim 30, wherein the aprotic solvent is chloroform.

33. The process according to claim 1, wherein the phthalimido oxazolidinone

5 compound of formula VII is converted in the step (c) to the compound of formula I as defined in claim 1, which comprises reacting the said phthalimido oxazolidinone with hydrazine hydrate to obtain the said compound of formula I.

34. A process for the preparation of linezolid intermediate of formula:



10

which comprises:

a) (i) reacting N-[3-Chloro-2-(R)-hydroxypropyl]-3-fluoro-4-morpholinyl aniline with potassium phthalimide to produce N-[3-phthalimido-2-(R)-hydroxypropyl]-3-fluoro-4-(morpholinyl)aniline;

15

(or)

(ii) reacting 3-fluoro-4-morpholinyl aniline with (S)-N-2,3-epoxypropyl phthalimide to produce N-[3-phthalimido-2-(R)-hydroxypropyl]-3-fluoro-4-(morpholinyl)aniline;

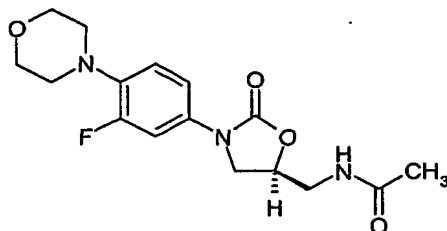
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b) subjecting N-[3-phthalimido-2-(R)-hydroxypropyl]-3-fluoro-4-(morpholinyl) aniline produced in step (a) to carbonylation using a carbonylating agent to produce (S)-N-[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl] methyl]phthalimide; and

25

c) reacting (S)-N-[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl] methyl]phthalimide produced in step (b) with hydrazine hydrate or aqueous methyl amine to produce S-N-[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]amine.

35. The process according to claim 34, further characterized by reacting S-N-[[3-[3-Fluoro-4-[4-morpholinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]amine produced in step (c) with acetic anhydride to produce linezolid of formula:



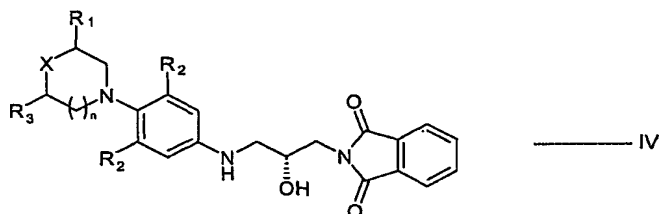
- 5 36. The process according to claim 34, wherein the reaction in step (a) (i) is carried out in a solvent or a mixture thereof.
37. The process according to claim 36, wherein the solvent is dimethylformamide or acetonitrile.
38. The process according to claim 34, wherein the reaction in the step (a) (i) is performed between about 10°C and the boiling temperature of the solvent used.
- 10 39. The process according to claim 38, wherein the reaction is performed between about 40°C and boiling temperature.
40. The process according to claim 39, wherein the reaction is performed at boiling temperature of the solvent used.
- 15 41. The process according to claim 34, wherein the reaction in step (a) (ii) is carried out in a solvent or a mixture thereof.
42. The process according to claim 41, wherein the solvent is selected from cyclic ethers, amides, acetonitrile and alcohols; or a mixture thereof.
- 20 43. The process according to claim 42, wherein the solvent is selected from tetrahydrofuran, N, N-dimethylformamide, N, N-dimethylacetamide, acetonitrile, methanol, ethanol, t-amyl alcohol, t-butyl alcohol and isopropyl alcohol.
44. The process according to claim 43, wherein the solvent is selected from methanol, isopropyl alcohol and N, N-dimethylformamide.
- 25 45. The process according to claim 44, wherein the solvent is methanol.
46. The process according to claim 44, wherein the solvent is isopropyl alcohol.

47. The process according to claim 44, wherein the solvent is N,N-dimethylformamide.
48. The process according to claim 34, wherein the reaction in step (a) (ii) is performed at or below boiling temperature of the solvent used.
- 5 49. The process according to claim 48, wherein the reaction is performed between about 10°C and boiling temperature of the solvent used.
50. The process according to claim 49, reaction is performed at the boiling temperature of the solvent used.
51. The process according to claim 34, wherein the carbonylating reagent in
10 step (b) is selected from carbonyldiimidazole, phosgene, diethyl carbonate, triphosgene, alkyl chloroformate, aryl chloroformate and aralkyl chloroformate.
52. The process according to claim 51, wherein the alkyl chloroformate is methyl chloroformate, aryl chloroformate is phenyl chloroformate and aralkyl
15 chloroformate is benzyl chloroformate.
53. The process according to claim 51, wherein the carbonylating reagent is carbonyldiimidazole or triphosgene or diethyl carbonate.
54. The process according to claim 53, wherein the carbonylating reagent is carbonyldiimidazole.
- 20 55. The process according to claim 53, wherein the carbonylating reagent is diethyl carbonate.
56. The process according to claim 53, wherein the carbonylating reagent is triphosgene.
57. The process according to claim 34, wherein the carbonylation reaction in the
25 step (b) is performed in the presence of an aprotic solvent or a mixture thereof.
58. The process according to claim 57, wherein the aprotic solvent is selected from methylenedichloride, ethylenedichloride and chloroform.
59. The process according to claim 58, wherein the aprotic solvent is
30 methylenedichloride.
60. The process according to claim 58, wherein the aprotic solvent is chloroform.
61. The process according to claim 34, wherein the step (c), the reaction is carried out in a solvent.

62. The process according to claim 61, wherein the solvent is selected from methanol, ethanol and isopropyl alcohol.

63. The process according to claim 35, wherein the reaction is carried out in toluene or acetone.

5 64. A compound of formula IV:



wherein

X is O, S, SO or SO₂;

R₁ is H, CH₃ or CN;

R₂ is independently H, F or Cl;

10 R₃ is H or CH₃;

n is 0, 1 or 2.

65. The compound of formula IV as defined in claim 64, wherein R₁=R₃ is H; R₂ is independently H and F; X is O or S; and n is 1.

15 66. The compound of formula IV as defined in claim 65, wherein one R₂ is H and the other R₂ is F; and X is O.